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047661

NONAPEPTIDE AND DECAPEPTIDE DERIVATIVES OF LUTEINIZING HORMONE/RELEASING HORMONE

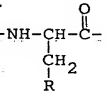
Abstract of the Disclosure

Nonapeptide and decapeptide analogs of LH-RH of the formula

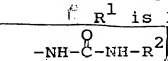
(pyro)Glu-His-V-Ser-W-X-Y-Arg-Pro-Z

(I)

and the pharmaceutically acceptable salts thereof wherein:
V is tryptophyl, phenylalanyl or 3-(1-naphthyl)-L-alanyl;
W is tyrosyl, phenylalanyl or 3-(1-pentafluorophenyl)-L-alanyl;
X is a D-amino acid residue



wherein R is
(a) a carbocyclic aryl-containing radical selected from the group consisting of naphthyl, anthryl, fluorenyl, phenanthryl, biphenylyl, benzhydryl and phenyl substituted with three or more straight chain lower alkyl groups; or
(b) a saturated carbocyclic radical selected from the group consisting of cyclohexyl substituted with three or more straight chain lower alkyl groups, perhydronaphthyl, perhydrobiphenylyl, perhydro-2,2-diphenylmethyl and adamantyl;
Y is leucyl, isoleucyl, nor-leucyl or N-methyl-leucyl;
Z is glycinamide or $_{m}^{|}NH\underset{m}{|}R^{1}$, wherein
R^1 is lower alkyl, cycloalkyl, fluoro lower alkyl or



wherein
R^2 is hydrogen or lower alkyl,
are disclosed. These compounds exhibit potent LH-RH agonist properties.

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P3201 06/15/79 047661 19-5430 2 102 108.00CH